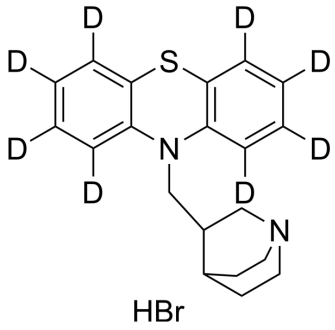
Mequitazine-d_8 hydrobromide

Cat. No.:	HY-B2168S
Molecular Formula:	$C_{20}H_{15}D_8BrN_2S$
Molecular Weight:	411.43
Target:	Histamine Receptor; Isotope-Labeled Compounds
Pathway:	GPCR/G Protein; Immunology/Inflammation; Neuronal Signaling; Others
Storage:	Please store the product under the recommended conditions in the Certificate of Analysis.



BIOLOGICAL ACTIVITY

Description	Mequitazine-d_8 hydrobromide is deuterated labeled Mequitazine (HY-B2168). Mequitazine is a potent, and long-acting histamine H_1 antagonist.
In Vitro	Stable heavy isotopes of hydrogen, carbon, and other elements have been incorporated into drug molecules, largely as tracers for quantitation during the drug development process. Deuteration has gained attention because of its potential to affect the pharmacokinetic and metabolic profiles of drugs[1]. Mequitazine is a potent H_1-receptors selective antihistaminic drug widely studied and used for allergic disorders such as hay fever and urticaria[2]. Mequitazine demonstrates significant bactericidal effects against all the tested clinical isolates including Ps. aeruginosa. Its effect against the Gram-positive isolates is more pronounced[3]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.
In Vivo	Mequitazine and clemizole antagonize the effect of histamine in guinea-pig ileum competitively. Mequitazine at 10^7 produces a parallel shift of the dose-response curve to acetylcholine in the rat duodenum. Mequitazine at highest concentration shows anticholinergic activity[4]. Mequitazine inhibits contractile responses to KCl, phenylephrine (PE), 5-hydroxytryptamine (5-HT), and Ca^{2+} in rat aorta[5]. MCE has not independently confirmed the accuracy of these methods. They are for reference only.

REFERENCES

[1]. Gonnot V, et al. Expedient synthesis of mequitazine an antihistaminic drug by palladium catalyzed allylic alkylation of sodium phenothiazinate. Chem Pharm Bull (Tokyo). 2009 Nov;57(11):1300-2.

[2]. El-Nakeeb MA, et a. In vitro antibacterial activity of some antihistaminics belonging to different groups against multi-drug resistant clinical isolates. Braz J Microbiol. 2011 Jul;42(3):980-91.

[3]. Martinez-Mir I, et al. Antihistaminic and anticholinergic activities of mequitazine in comparison with clemizole. J Pharm Pharmacol. 1988 Sep;40(9):655-6.

[4]. Satake N, et al. Possible mechanisms of vasoinhibitory effects of mequitazine, an antiallergic agent, on the contractions of isolated rat aorta induced by K+, phenylephrine, 5-hydroxytryptamine, and Ca2+. J Cardiovasc Pharmacol. 1994 Apr;23(4):669-73.

[5]. Russak EM, et al. Impact of Deuterium Substitution on the Pharmacokinetics of Pharmaceuticals. Ann Pharmacother. 2019 Feb;53(2):211-216.

Caution: Product has not been fully validated for medical applications. For research use only.

Tel: 609-228-6898 Fax: 609-228-5909 E-mail: tech@MedChemExpress.com
Address: 1 Deer Park Dr, Suite Q, Monmouth Junction, NJ 08852, USA